



STIC Search Report

Biotech-Chem Library

STIC Database Tracking Number: 139420

TO: David Lukton
Location: REM/3B75/3C70
Art Unit: 1653
December 6, 2004

Case Serial Number: 09/600659

From: P. Sheppard
Location: Remsen Building
Phone: (571) 272-2529

sheppard@uspto.gov

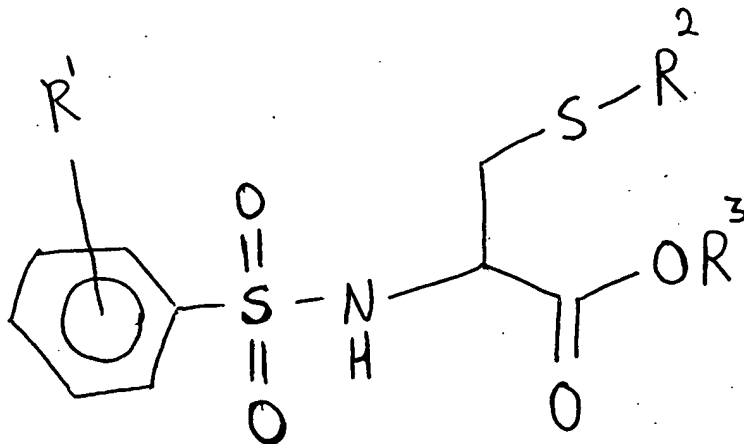
Search Notes

SEARCH REQUEST FORM
(STIC)Requestor's Name: David LuktonExaminer number: 71263Date: 12/2/04Art Unit: 1653Phone number: 571-272-0952Serial Number:

09-600659

Mail Box: 3-C-70Examiner Rm: 3-B-75Results format: paper

Title: A PHARMACEUTICAL FORMULATION CONTAINING AN INHIBITOR OF
CARBOXYPEPTIDASE U AND A THROMBIN INHIBITORApplicants: ABRAHAMSSON, TOMMY; NERME, VIVECA; POLLA, MAGNUSEarliest Priority Date: 5/3/99

DEC-3 2005
STIC

R¹ = amino or amidino or guanidino

R² = hydrogen or acyl

R³ = anything

STAFF USE ONLY

Type of Search

Vendors and cost where applicable

=> fil hcaplus
FILE 'HCAPLUS' ENTERED AT 15:24:05 ON 06 DEC 2004
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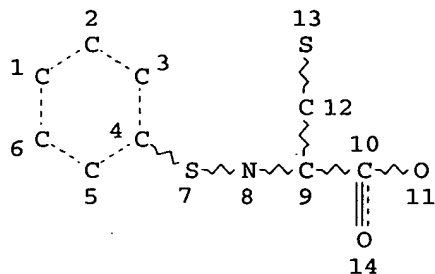
FILE COVERS 1907 - 6 Dec 2004 VOL 141 ISS 24
FILE LAST UPDATED: 5 Dec 2004 (20041205/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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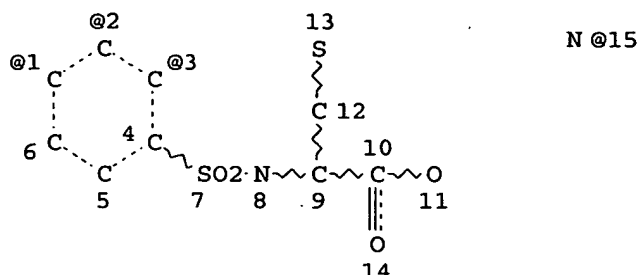
L21 STR



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE
L25 447 SEA FILE=REGISTRY SSS FUL L21
L30 STR



VPA 15-1/2/3 U
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GRAPH ATTRIBUTES:
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 NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE
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 L32 19 SEA FILE=HCAPLUS ABB=ON PLU=ON L31

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=> d ibib abs hitstr l32 1-19

L32 ANSWER 1 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2004:582946 HCAPLUS
 DOCUMENT NUMBER: 141:272782
 TITLE: Stereoselective Synthesis of Natural
 N-(1-Deoxy-D-β-fructos-1-yl)-L-amino Acids and
 Their Effect on Lead Decorporation
 AUTHOR(S): Huo, Caixia; Wang, Chao; Zhao, Ming; Peng, Shiqi
 CORPORATE SOURCE: College of Pharmaceutical Sciences, Peking University,
 Beijing, 100083, Peop. Rep. China
 SOURCE: Chemical Research in Toxicology (2004), 17(8),
 1112-1120
 CODEN: CRTOEC; ISSN: 0893-228X
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB N-(1-Deoxy-D-fructos-1-yl)-L-amino acids isolated from hog liver are endogenous lead decorporation substances with low toxicity and cell membrane crossing ability. To simulate the effect of the natural N-(1-deoxy-D-fructos-1-yl)-L-amino acids on lead decorporation, a series of the epimerically pure N-(1-deoxy-D-fructos-1-yl)-L-amino acids (6a-eβ) were synthesized, and their usefulness as antagonists of lead intoxication was investigated. The results suggest that after treatment with 6a-eβ the liver, kidney, bone, and brain, lead levels of mice were significantly reduced in comparison with the control group. Except for bone and brain lead levels of the mice after chelating treatment with 6dβ, all of the other tissue lead levels of mice after chelating treatment with 6a-eβ are significantly lower than those of mice after treatment with DL-penicillamine. All fecal lead levels of mice after treatment with 6a-eβ are significantly higher than those of mice after treatment with 0.9% saline (controls) and DL-penicillamine. The

effects of all chelating agents on urinary excretion of lead in mice are clearly superior to the control. The results of the present studies on repeated lead exposure indicated that at tested levels of i.p. injections, the fructose-amino acids were effective antagonists of lead poisoning under the exptl. conditions. After treatment with the chelators, the concentration of essential metals in mice did not exhibit change as compared to the control. The effects of the compds. on cadmium decorporation were also investigated, and similar results were observed

IT 757241-92-2P 757241-96-6P 757242-02-7P
757242-08-3P

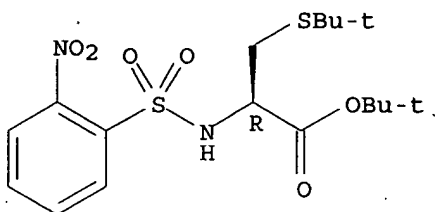
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(stereoselective synthesis of natural N-(1-Deoxy-D-β-fructos-1-yl)-L-amino acids and their effect on lead decorporation in mice)

RN 757241-92-2 HCAPLUS

CN L-Cysteine, S-(1,1-dimethylethyl)-N-[(2-nitrophenyl)sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

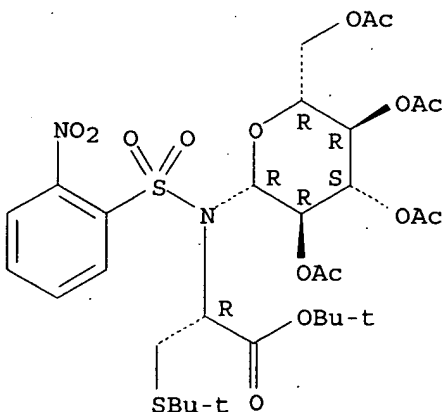
Absolute stereochemistry.



RN 757241-96-6 HCAPLUS

CN L-Cysteine, S-(1,1-dimethylethyl)-N-[(2-nitrophenyl)sulfonyl]-N-(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

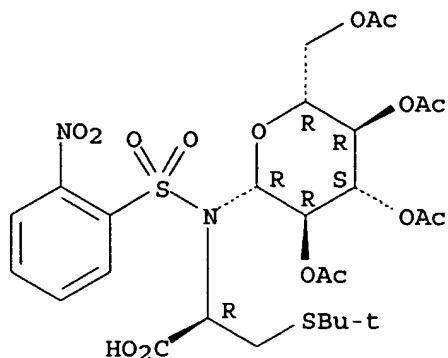
Absolute stereochemistry. Rotation (+).



RN 757242-02-7 HCAPLUS

CN L-Cysteine, S-(1,1-dimethylethyl)-N-[(2-nitrophenyl)sulfonyl]-N-(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)- (9CI) (CA INDEX NAME)

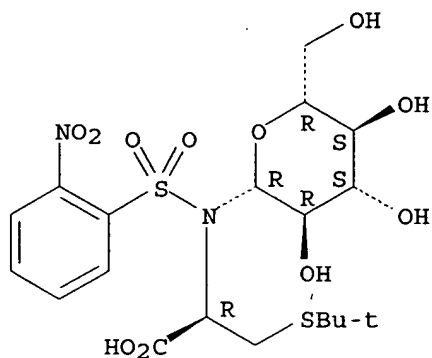
Absolute stereochemistry. Rotation (+).



RN 757242-08-3 HCAPLUS

CN L-Cysteine, S-(1,1-dimethylethyl)-N-beta-D-glucopyranosyl-N-[(2-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L32 ANSWER 2 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:283928 HCAPLUS

DOCUMENT NUMBER: 134:310745

TITLE: Preparation of beta disubstituted metalloprotease inhibitors

INVENTOR(S): Pikul, Stanislaw; Ohler, Norman Eugene; Solinsky, Kelly Michelle; Almstead, Neil Gregory; De, Biswanath; Natchus, Michael George

PATENT ASSIGNEE(S): Procter & Gamble Company, USA

SOURCE: PCT Int. Appl., 77 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

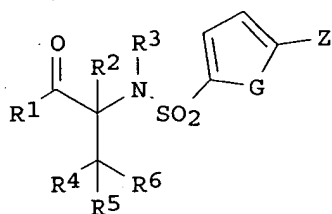
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001027084	A1	20010419	WO 2000-US28194	20001012
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR,				

KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2386485	AA	20010419	CA 2000-2386485	20001012
BR 2000014759	A	20020702	BR 2000-14759	20001012
EP 1224171	A1	20020724	EP 2000-970820	20001012
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
TR 200200977	T2	20020821	TR 2002-200200977	20001012
JP 2003519100	T2	20030617	JP 2001-530105	20001012
NZ 517983	A	20040130	NZ 2000-517983	20001012
US 6696456	B1	20040224	US 2000-687681	20001013
ZA 2002002207	A	20021009	ZA 2002-2207	20020101
NO 2002001748	A	20020614	NO 2002-1748	20020412
US 2004142975	A1	20040722	US 2003-744346	20031223
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			WO 2000-US28194	W 20001012
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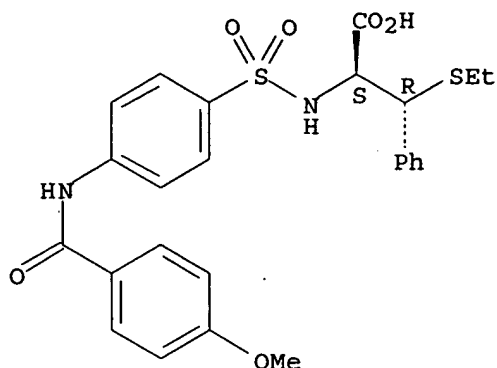
OTHER SOURCE(S): MARPAT 134:310745
GI



I

- AB Compds. I [R1 = OH, NHOH; R2 = hydrogen, hydroxyl, alkoxy, alkyl, alkenyl, alkynyl, heteroalkyl, haloalkyl, cycloalkyl, heterocycloalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, halo; R3 = hydrogen, alkyl, alkenyl, alkynyl, heteroalkyl, haloalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, cycloalkyl, heterocycloalkyl; R4 = (CR7CR7')kX(CR8CR8')lEA and k = 0-4 and l = 0-4 and each of R7, R7', R8, R8' = H, alkyl, alkenyl, alkynyl, aryl, etc. and X = O, S, SO, etc. and E = bond, SO2, NR10, etc. and A = H, alkyl, alkenyl, etc.; R5 = H, alkyl, haloalkyl, etc.; R6 = alkyl, alkenyl, alkynyl, etc.; G = S, O, NR11, etc.; Z = cycloalkyl, heterocycloalkyl, etc.], which are inhibitors of metalloproteases, were prepared E.g., (2R,3S)-2-(4'-methoxybiphenyl-4-sulfonylamino)-3-(4-methylbenzyloxy)-3-thiazol-2-ylpropionic acid was prepared
- IT 334991-58-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of beta disubstituted metalloprotease inhibitors)
- RN 334991-58-1 HCAPLUS
- CN D-Phenylalanine, β -(ethylthio)-N-[[4-[(4-methoxybenzoyl)amino]phenyl]sulfonyl]-, (β R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L32 ANSWER 3 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1992:482690 HCAPLUS

DOCUMENT NUMBER: 117:82690

TITLE: Nonradioactive determination of PTH and dabsyl phosphoamino acids by capillary electrophoresis

AUTHOR(S): Heber, M.; Liedtke, C.; Korte, H.; Hoffmann-Posorske, E.; Donella-Deana, A.; Pinna, L. A.; Perich, J.; Kitas, E.; Johns, R. B.; Meyer, H. E.

CORPORATE SOURCE: Inst. Physiol. Chem., Ruhr-Univ. Bochum, Bochum, 4630, Germany

SOURCE: Chromatographia (1992), 33(7-8), 347-50

CODEN: CHRGB7; ISSN: 0009-5893

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Capillary electrophoresis is a novel technique in the nonradioactive determination of phosphoamino acids. The main advantage of the method presented is the high selectivity and the ability to sep. all phosphoamino acid derivs. Non-radioactive determination of PTH or dabsyl phosphoamino acids by capillary electrophoresis provides a fast and simple screening procedure for all O-phosphorylated amino acids in protein and peptides in the low picomolar range.

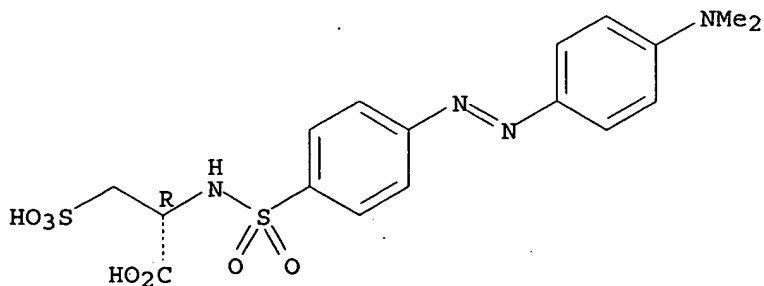
IT 97684-93-0

RL: ANST (Analytical study); PROC (Process)
(separation of, by capillary electrophoresis)

RN 97684-93-0 HCAPLUS

CN L-Alanine, N-[[4-[[4-(dimethylamino)phenyl]azo]phenyl]sulfonyl]-3-sulfo-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L32 ANSWER 4 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1989:403500 HCAPLUS

DOCUMENT NUMBER: 111:3500

TITLE: Reversed-phase high-performance liquid chromatography separation of dimethylaminoazobenzene sulfonyl- and dimethylaminoazobenzene thiohydantoin-amino acid derivatives for amino acid analysis and microsequencing studies at the picomole level

AUTHOR(S): Stocchi, Vilberto; Piccoli, Giovanni; Magnani, Mauro; Palma, Francesco; Biagiarelli, Beatrice; Cucchiaroni, Luigi

CORPORATE SOURCE: Ist. Chim. Biol., Univ. Stud. Urbino, Urbino, 61029, Italy

SOURCE: Analytical Biochemistry (1989), 178(1), 107-17
CODEN: ANBCA2; ISSN: 0003-2697

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A simple and fast reversed-phase HPLC method has been developed for the complete separation of 35 dimethylaminoazobenzene sulfonyl (DABS)-amino acids and byproducts. This method allows simultaneous determination of primary and secondary amino acids which can be present in protein and peptide hydrolyzates and also detects the presence of cysteic acid, S-sulfocysteine, hydroxyproline, taurine, norleucine, cystine, and δ -hydroxylysine. The precolumn derivatization of amino acids with dimethylaminoazobenzene sulfonyl chloride (DABS-Cl) is simple and quick (10 min at 70°) and allows the complete reaction of primary and secondary amino acids. The separation of the compds. under investigation is achieved in 25 min using a reversed-phase 3- μ m Supelcosil LC-18 column at room temperature. The versatility of the proposed method is documented by amino acid determination on protein samples obtained using different hydrolysis techniques (HCl, methane-sulfonic acid, and NaOH), with attention given to the detection of tryptophan in protein samples with high sugar concentration. Furthermore, the exptl. conditions are reported which are necessary to apply this method to the amino acid anal. of very low amount of proteins (1 to 5 μ g) electroeluted from a stained band after sodium dodecyl sulfate-polyacrylamide gel electrophoresis. The stability of DABS-derivs., the short time of anal., the high reproducibility and sensitivity of the system, and the complete resolution of all compds. of interest make this method suitable for routine anal. Furthermore, a fast reversed-phase HPLC method was developed for the complete separation of dimethylaminoazobenzene thiohydantoin (DABTH)-amino acids. The separation of the compds. under investigation is obtained, at room temperature, in less than 18 min using a reversed-phase Supelcosil LC-18 DB column, 3- μ m particles, and also allows the complete separation of DABTH-isoleucine, DABTH-leucine, and DABTH-norleucine. The short time of anal., together with the high reproducibility of the system and its sensitivity at picomole levels, make this method very suitable for the identification of DABTH-amino acids released during microsequencing studies of proteins and peptides with the dimethylaminoazobenzene isothiocyanate reagent. It is possible to obtain complete separation of DABTH-amino acids also under isocratic conditions. However, in this case, it is necessary to elute the excess reagent from the column at the end of the day, and this can only be achieved using a high concentration of solvent B (85% acetonitrile). The 2 different HPLC methods are recommended for amino acid anal. and microsequencing.

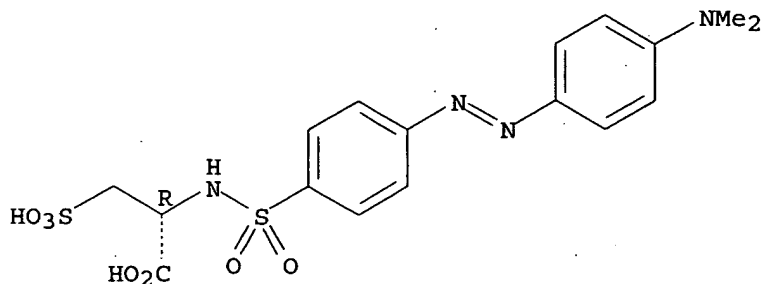
IT 97684-93-0 97684-95-2 121064-61-7

RL: ANT (Analyte); ANST (Analytical study)
(determination of, by reversed-phase HPLC)

RN 97684-93-0 HCAPLUS

CN L-Alanine, N-[[4-[[4-(dimethylamino)phenyl]azo]phenyl]sulfonyl]-3-sulfo-
(9CI) (CA INDEX NAME)

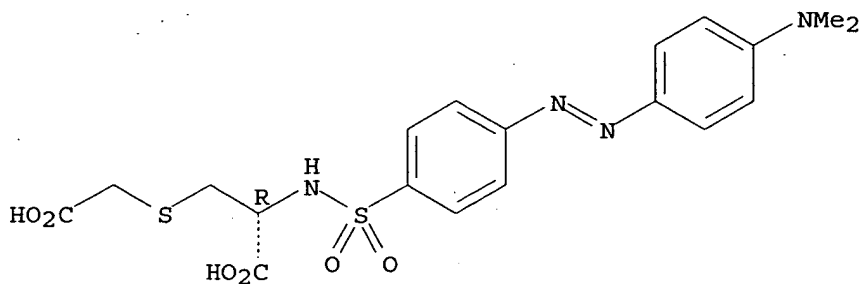
Absolute stereochemistry.
Double bond geometry unknown.



RN 97684-95-2 HCAPLUS

CN L-Cysteine, S-(carboxymethyl)-N-[[4-[[4-(dimethylamino)phenyl]azo]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

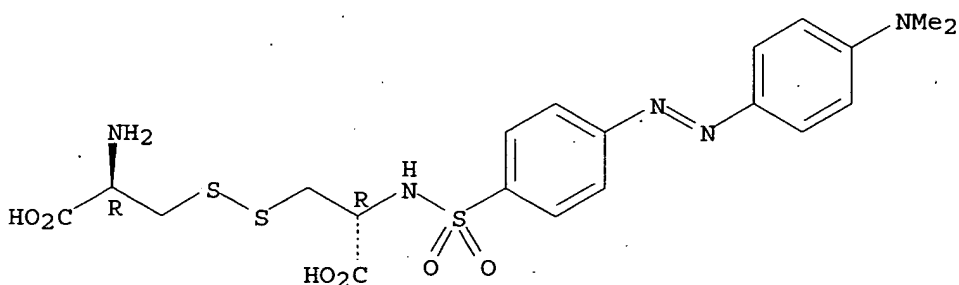
Absolute stereochemistry.
Double bond geometry unknown.



RN 121064-61-7 HCAPLUS

CN L-Cystine, N-[[4-[[4-(dimethylamino)phenyl]azo]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L32 ANSWER 5 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:469689 HCAPLUS

DOCUMENT NUMBER: 109:69689

TITLE: Comparison of reverse-phase high-performance liquid chromatographic methods for precolumn-derivatized amino acids

AUTHOR(S): McClung, G.; Frankenberger, W. T., Jr.

CORPORATE SOURCE: Dep. Soil Environ. Sci., Univ. California, Riverside,
CA, 92521, USA
SOURCE: Journal of Liquid Chromatography (1988), 11(3), 613-46
CODEN: JLCHD8; ISSN: 0148-3919
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:69689

AB A comparison was made among 5 precolumn derivatization techniques for amino acid anal. using reversed-phase HPLC. All chromatog. analyses were conducted using the same instrumentation and a C18 Ultrasphere ODS column (5 μ m, 250 + 4.6 mm). The precolumn derivatization methodologies studied included the formation of o-phthaldialdehyde, dimethylaminonaphthalenesulfonyl, dimethylaminoazobenzenesulfonyl, phenylthiohydantoin, and phenylthiocarbamyl derivs. The derivatization procedures were evaluated for simplicity, time required, and derivative stability. HPLC analyses of the amino acid derivs. were compared in terms of resolution, sensitivity, reproducibility, and time of anal.

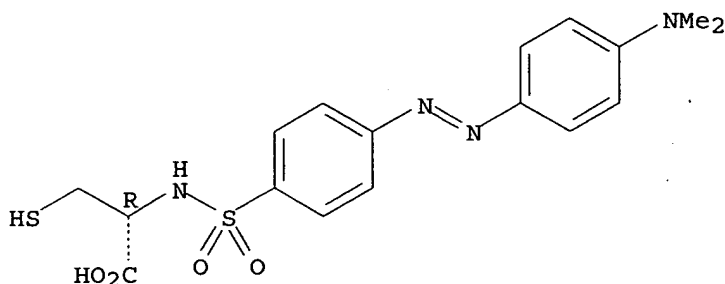
IT 98045-83-1

RL: ANST (Analytical study)
(chromatog. of, reversed-phase high-performance liquid)

RN 98045-83-1 HCAPLUS

CN L-Cysteine, N-[[4-[[4-(dimethylamino)phenyl]azo]phenyl]sulfonyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L32 ANSWER 6 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1987:175877 HCAPLUS

DOCUMENT NUMBER: 106:175877

TITLE: Debsyl chloride: its synthesis, characterization and application in amino acid and amine microanalysis

AUTHOR(S): Lin, Jen Kun; Wu, Shan Shou

CORPORATE SOURCE: Coll. Med., Natl. Taiwan Univ., Taipei, Taiwan

SOURCE: Journal of the Chinese Biochemical Society (1985),
14(1), 10-19

CODEN: JCBSB5; ISSN: 0379-7368

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Reaction of debsyl chloride p-ClSO₂C₆H₄N:NC₆H₄NR₂-p (I; R = Et) with 20 amino acids and 6 alkylamines gives amino acid (II) and amine (III) derivs. which are separable by HPLC on a C-18 reversed-phase column. Dabsyl chloride (I; R = Me) amino acid derivs. are separated better than II; dabsylamines are not separated as well as as III.

IT 98045-83-1P 107969-09-5P

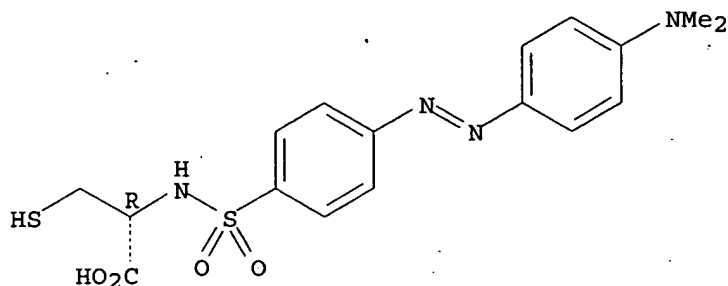
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and HPLC of)

RN 98045-83-1 HCAPLUS

CN L-Cysteine, N-[[4-[[4-(dimethylamino)phenyl]azo]phenyl]sulfonyl]- (9CI)

(CA INDEX NAME)

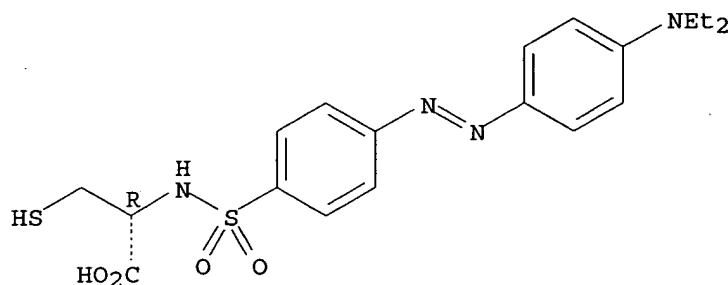
Absolute stereochemistry.
Double bond geometry unknown.



RN 107969-09-5 HCAPLUS

CN L-Cysteine, N-[[4-[[4-(dimethylamino)phenyl]azo]phenyl]sulfonyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L32 ANSWER 7 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN.

ACCESSION NUMBER: 1987:9830 HCAPLUS

DOCUMENT NUMBER: 106:9830

TITLE: Chromatographic studies on extraction of
dimethylaminoazobenzenesulfonyl derivatives of amino
acids with mixed polar solvents

AUTHOR(S): Matysik, Grazyna; Soczewinski, Edward; Wolski, Tadeusz

CORPORATE SOURCE: Wyd. Farmaceut., Akad. Med., Lublin, 20-081, Pol.

SOURCE: Chemia Analityczna (Warsaw, Poland) (1986), 31(1),
29-36

CODEN: CANWAJ; ISSN: 0009-2223

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The partition of 20 amino acids as 1-dimethylaminoazobenzene-4'-sulfonyl
derivs. in aqueous buffer solns.-CHCl3 solns. of diiso-Bu ketone, n-C6H11OH,
or TBP was investigated by the "moist buffered paper" chromatog. In most
cases, linear plots RM vs. log concentration of the polar solvent were obtained;
the slopes were >1 particularly for the derivative containing addnl. polar groups.
The most effective solvents were C6H11OH and TBP.

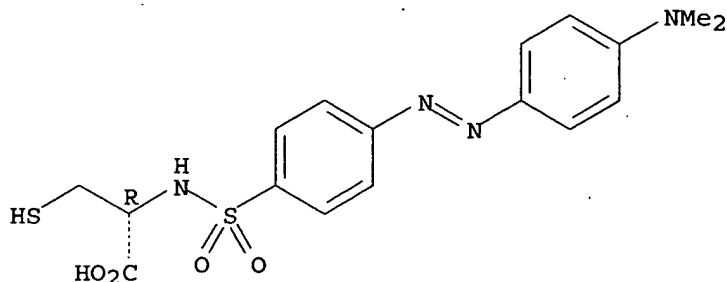
IT 98045-83-1

RL: PRP (Properties)
(chromatog. RM values for)

RN 98045-83-1 HCAPLUS

CN L-Cysteine, N-[[4-[[4-(dimethylamino)phenyl]azo]phenyl]sulfonyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L32 ANSWER 8 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1985:542375 HCAPLUS
 DOCUMENT NUMBER: 103:142375
 TITLE: High purity amino acid derivatives
 INVENTOR(S): Wolski, Tadeusz; Golkiewicz, Wladyslaw; Soczewinski, Edward; Bieganowska, Maria; Matysik, Elzbieta Grazyna
 PATENT ASSIGNEE(S): Akademia Medyczna, Lublin, Pol.
 SOURCE: Pol., 3 pp.
 CODEN: POXXA7
 DOCUMENT TYPE: Patent
 LANGUAGE: Polish
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PL 123652	B1	19821130	PL 1979-217459	19790728

PRIORITY APPLN. INFO.: PL 1979-217459 19790728

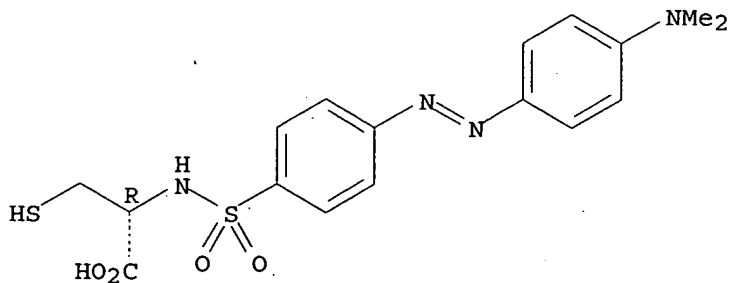
AB Reaction of amino acids with 4-(4-Me2NC6H4N:N)C6H4SO2Cl and NaHCO3 in Me2CO gave the N-sulfonates. Derivs. of twenty amino acids were prepared

IT 98045-83-1P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 98045-83-1 HCAPLUS

CN L-Cysteine, N-[[4-[[4-(dimethylamino)phenyl]azo]phenyl]sulfonyl]- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L32 ANSWER 9 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1985:488190 HCAPLUS

DOCUMENT NUMBER: 103:88190
 TITLE: Analyses of dansyl and dabsyl amino acids by reverse-phase high-performance liquid chromatography
 AUTHOR(S): Muramoto, Koji; Kamiya, Hisao
 CORPORATE SOURCE: Sch. Fish. Sci., Kitasato Univ., Iwate, 022-01, Japan
 SOURCE: Nippon Suisan Gakkaishi (1985), 51(5), 817-24
 CODEN: NSUGAF; ISSN: 0021-5392

DOCUMENT TYPE: Journal
 LANGUAGE: English

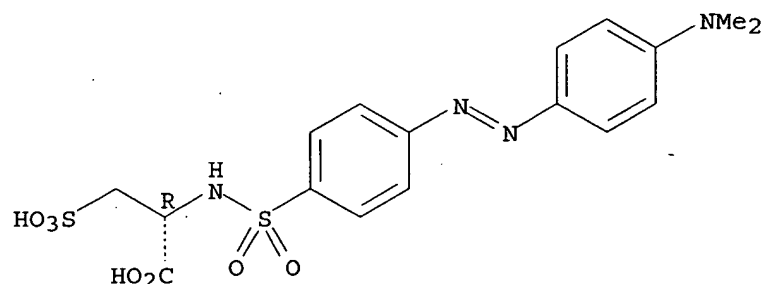
AB Dansyl and dabsyl amino acids were separated by reverse-phase high-performance liquid chromatog. on a short column (4.6 + 50 mm) packed with 3 μ m ODS particles using a gradient formed from acetone and 10 mM Na phosphate buffer at pH 6.5 or 7.0. The light absorption of the derivs. was used for the detection giving a sensitivity of less than 50 pmol for a dansyl derivative or 10 pmol for a dabsyl derivative. This system was applicable to the amino acid analyses, amino-terminal analyses, and carboxyl-terminal analyses with less than 1 nmol of peptides.

IT 97684-93-0P 97684-95-2P 97695-43-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and reversed-phase high-performance liquid chromatog. of)

RN 97684-93-0 HCAPLUS

CN L-Alanine, N-[[4-[[4-(dimethylamino)phenyl]azo]phenyl]sulfonyl]-3-sulfo-
 (9CI) (CA INDEX NAME)

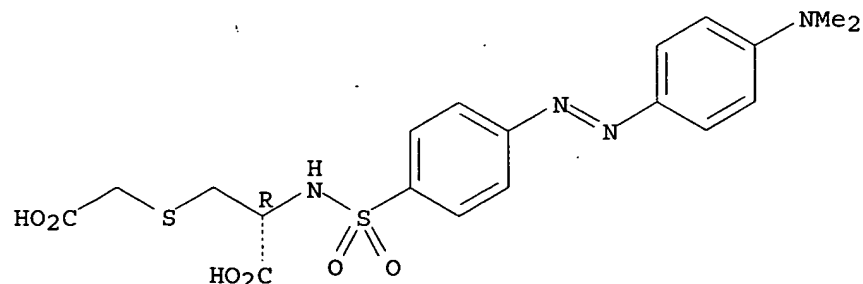
Absolute stereochemistry.
 Double bond geometry unknown.



RN 97684-95-2 HCAPLUS

CN L-Cysteine, S-(carboxymethyl)-N-[[4-[[4-(dimethylamino)phenyl]azo]phenyl]sulfonyl]-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.

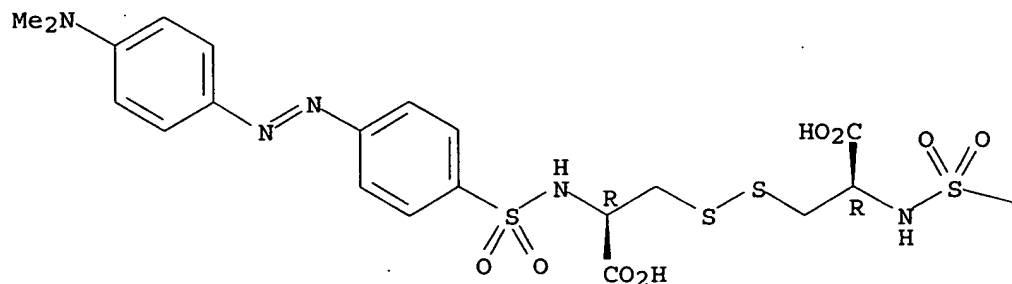


RN 97695-43-7 HCAPLUS

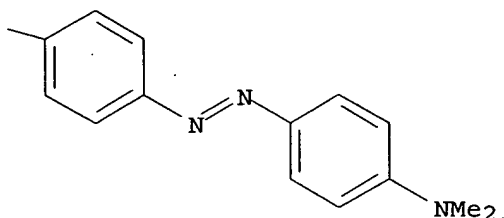
CN L-Cystine, N,N'-bis[[4-[[4-(dimethylamino)phenyl]azo]phenyl]sulfonyl]-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



PAGE 1-B



L32 ANSWER 10 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1985:484599 HCAPLUS
DOCUMENT NUMBER: 103:84599
TITLE: Amino acid analysis
INVENTOR(S): Ishida, Yasuo; Fujiwara, Michihiko; Kinoshita, Toshio;
Nimura, Noriyuki
PATENT ASSIGNEE(S): Shimadzu Corp., Japan
SOURCE: Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 138092	A2	19850424	EP 1984-111205	19840920
EP 138092	A3	19870408		
EP 138092	B1	19900711		
R: DE, FR, GB				
JP 60082967	A2	19850511	JP 1983-193070	19831014
JP 01054668	B4	19891120		
US 4670403	A	19870602	US 1984-649549	19840911

PRIORITY APPLN. INFO.:

JP 1983-193070

A 19831014

AB Primary amino acids, as well as secondary amino acids, e.g., imino acids (after oxidation), are determined or detected in the nanomole range by liquid chromatog. with either pre- or postcolumn reaction with o-phthalaldehyde and an N-protected cysteine, e.g., N-acetyl-L-cysteine, under alkaline conditions and by fluorometric measurement of the derivs. The method avoids use of mercaptoethanol, and racemic mixts. of amino acids are resolved by use of chiral N-acetyl-L-cysteine. An amino acid analyzer is provided that consists of a chromatog. column, reactor, fluorometer, buffer channels, etc.

IT 25129-02-6

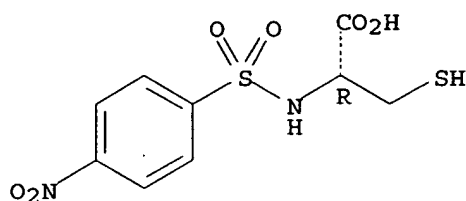
RL: ANST (Analytical study)

(in amino acids fluorometric determination)

RN 25129-02-6 HCAPLUS

CN L-Cysteine, N-[(4-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L32 ANSWER 11 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1985:453874 HCAPLUS

DOCUMENT NUMBER: 103:53874

TITLE: 2-Penem compounds

INVENTOR(S): Afonso, Adriano

PATENT ASSIGNEE(S): Schering Corp., USA

SOURCE: Can., 53 pp.

CODEN: CAXXA4

DOCUMENT TYPE: Patent

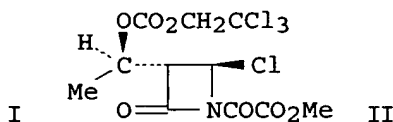
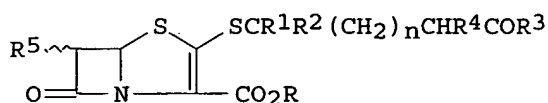
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 1180695	A1	19850108	CA 1981-384249	19810820
PRIORITY APPLN. INFO.:			CA 1981-384249	19810820

GI



AB Penems I [R = H, alkali metal, quaternary ammonium, ester groups; R1, R2 = H, alkyl; R3 = NH2, alkylamino, amino acid residue, (un)esterified OH; R4 = (un)substituted NH2; R5 = H, alkyl, 1-hydroxyalkyl; n = 0-4] were prepared. Thus, (5R,6S,8R,2'S)-I (R = Na, R1 = R2 = H, R3 = OH, R4 = NH2, R5 = CHMeOH, n = 0) was obtained from the azetidinone II by reaction with CH2:CHCH2O2CNH-D-Cys-OCH2CH:CH2, cyclization, and deblocking. II was prepared from 6-aminopenicillanic acid in 7 steps.

IT 97247-08-0P

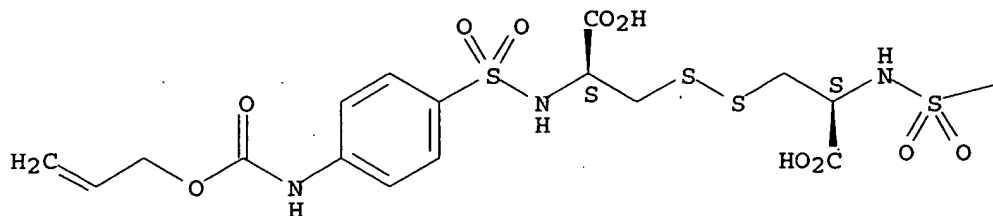
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and esterification of)

RN 97247-08-0 HCAPLUS

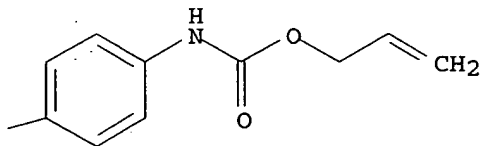
CN D-Cystine, N,N'-bis[[4-[[[(2-propenyloxy)carbonyl]amino]phenyl]sulfonyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



IT 97247-09-1P 97247-20-6P

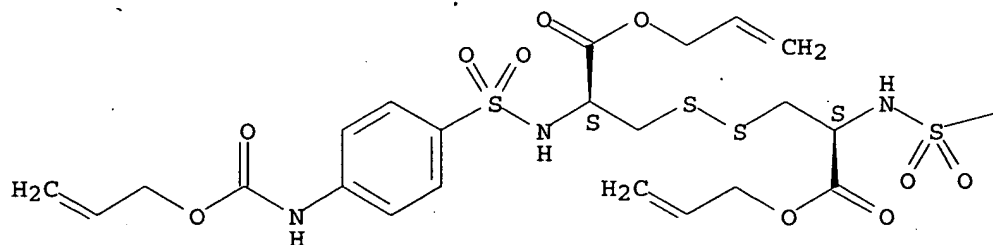
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and methylation of)

RN 97247-09-1 HCAPLUS

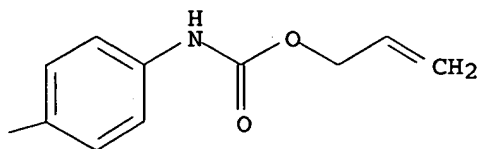
CN D-Cystine, N,N'-bis[[4-[[[(2-propenyloxy)carbonyl]amino]phenyl]sulfonyl]-,
di-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



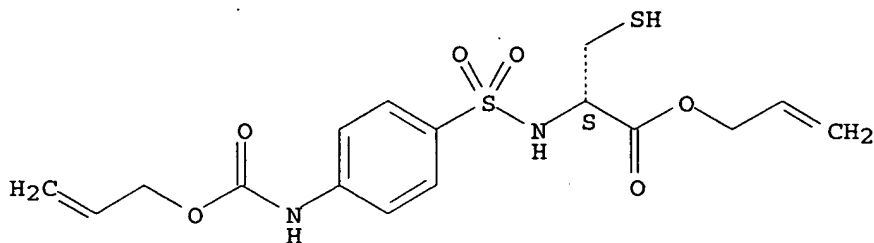
PAGE 1-B



RN 97247-20-6 HCAPLUS

CN D-Cysteine, N-[[4-[(2-propenyloxy)carbonyl]amino]phenyl]sulfonyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L32 ANSWER 12 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1985:127769 HCAPLUS

DOCUMENT NUMBER: 102:127769

TITLE: Inhibition of dihydropteroate synthase from Escherichia coli by five sulfonamides

AUTHOR(S): Sai-Ubol, Napaporn; Suttimool, Wichai

CORPORATE SOURCE: Dep. Immunol. Biochem., Armed Forces Res. Inst. Med. Sci., Bangkok, Thailand

SOURCE: Journal of the Science Society of Thailand (1984), 10(3), 135-45

CODEN: VKSTDB; ISSN: 0303-8122

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The inhibitory action of 5 sulfonamides on dihydropteroate synthase (I) purified from E. coli was studied. The K_i values for sulfanilamide, 3-(4-aminophenylsulfonamido)propyl bromide, N,N'-bis(sulfanilyl)-L-cystine, Na 3-(4-aminophenylsulfonamido)propanethiosulfate and N-[4-(4-aminophenylsulfonamido)phenylsulfonyl]glycine were 4.30×10^{-4} , 7.50×10^{-4} , 3.50×10^{-4} , 5.38×10^{-4} , and 7.50×10^{-6} M, resp. All 5 sulfonamides showed their inhibitory activity by competing with p-aminobenzoic acid for the active site of I.

IT 83626-72-6

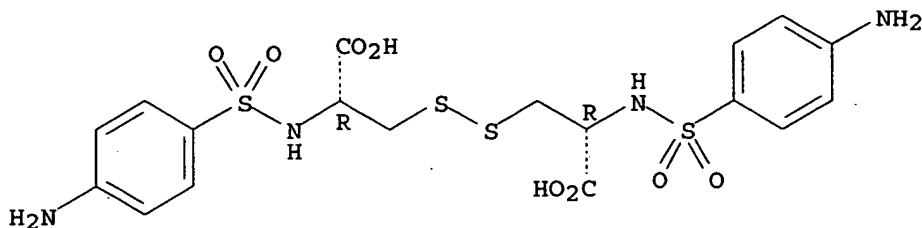
RL: BIOL (Biological study)

(dihydropteroate synthase of Escherichia coli inhibition by, kinetics of)

RN 83626-72-6 HCAPLUS

CN L-Cystine, N,N'-bis[(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L32 ANSWER 13 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1984:131818 HCAPLUS

DOCUMENT NUMBER: 100:131818

TITLE: Optimization of the extraction conditions of amino acid dabsyl derivatives

AUTHOR(S): Wolski, T.; Golkiewicz, W.; Bartuzi, G.

CORPORATE SOURCE: Dep. Inorg. Anal. Chem., Med. Acad., Lublin, 20-081, Pol.

SOURCE: Chromatographia (1984), 18(1), 33-6

CODEN: CHRGB7; ISSN: 0009-5893

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Moist buffered paper chromatog. is proposed for the selection of the optimum conditions for the extraction of 4-(dimethylamino)azobenzene-4'-sulfonyl (dabsyl) derivs. of amino acids. It is shown for each individual acid an optimum pH value exists at which the distribution coefficient reaches a maximum. The optimum pH values can be easily determined from chromatog. data. The linear correlation between the static distribution coeffs. and the RM values permit the direct calcn. of the distribution coeffs. from the chromatog. data.

IT 89131-06-6

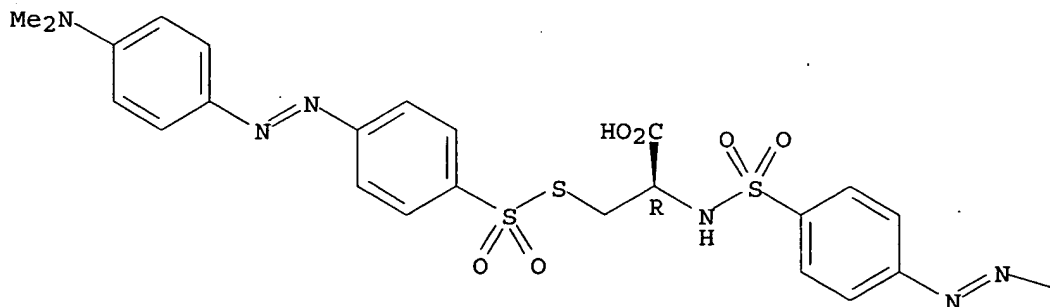
RL: PRP (Properties); ANST (Analytical study)
(partition of, between Et ether and water)

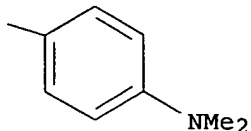
RN 89131-06-6 HCAPLUS

CN L-Cysteine, N-[[4-[[4-(dimethylamino)phenyl]azo]phenyl]sulfonyl]-, 4-[[4-(dimethylamino)phenyl]azo]benzenesulfonate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

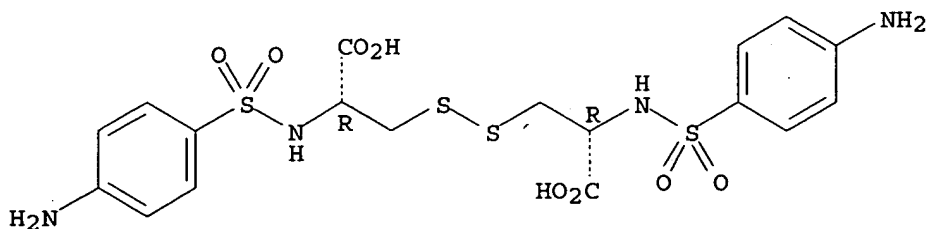
PAGE 1-A





L32 ANSWER 14 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1982:597921 HCAPLUS
 DOCUMENT NUMBER: 97:197921
 TITLE: Synthesis of ω -(4-aminophenylsulfonamido)alkyl disulfides and thiosulfates and their activity against dihydropteroate synthetase from sulfanilamide-resistant *Neisseria gonorrhoeae*
 AUTHOR(S): Foye, William O.; Kauffman, Joel M.; Suttimool. Wichai
 CORPORATE SOURCE: Samuel M. Best Res. Lab., Massachusetts Coll. Pharm.
 SOURCE: Allied Health Sci., Boston, MA, 02115, USA
 Journal of Pharmaceutical Sciences (1982), 71(7), 799-802
 CODEN: JPMSAE; ISSN: 0022-3549
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Two series, of ω -(4-aminophenylsulfonamido)alkyl disulfides and thiosulfates, were prepared by the reaction of 4-AcNHC₆H₄SO₂Cl with either the aminoalkyl disulfide dihydrobromide or the aminoalkyl bromide hydrobromide followed by Na₂S₂O₃. Several of the compds. showed inhibitory activity against dihydropteroate synthetase isolated from a sulfanilamide-resistant strain of *Neisseria gonorrhoeae* of the same order as that of sulfanilamide. An increase in the hydrophobic nature of the sulfanilamide structure did not increase inhibitory activity against this enzyme.
 IT 83626-72-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and dihydropteroate synthetase-inhibiting activity of)
 RN 83626-72-6 HCAPLUS
 CN L-Cystine, N,N'-bis[(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L32 ANSWER 15 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1976:499178 HCAPLUS
 DOCUMENT NUMBER: 85:99178
 TITLE: Cysteamine derivatives for oral treatment of seborrhea
 INVENTOR(S): Kalopissis, Gregoire; Manoussos, Georges
 PATENT ASSIGNEE(S): Oreal S. A., Fr.
 SOURCE: U.S., 19 pp. Division of U.S. 3,821,405.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 19
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3950542	A	19760413	US 1974-468595	19740509
DE 1667903	C3	19820218	DE 1968-013057	19680212
DE 1667903	A	19720105		
DE 1667903	B2	19741003		
CH 497175	A	19701015	CH 1968-497175	19680213
US 3629452	A	19711221	US 1968-706652	19680219
BE 711049	A	19680820	BE 1968-711049	19680220
BE 711050	A	19680820	BE 1968-711050	19680220
NL 6802404	A	19680822	NL 1968-2404	19680220
NL 6802405	A	19680822	NL 1968-2405	19680220
IT 976353	A	19740820	IT 1968-50591	19680220
FR 8024	M	19700803	FR 1968-8024	19680221
FR 8043	M	19700810	FR 1968-8043	19680221
CH 495151	A	19700831	CH 1968-495151	19680221
FR 8366	M	19710215	FR 1968-8366	19680221
DE 1667902	C3	19740314	DE 1968-013056	19680221
DE 1667902	B2	19730726		
DE 1667902	A	19720316		
US 3821405	A	19740628	US 1971-140956	19710506
US 4035492	A	19770712	US 1976-653526	19760129
US 4139635	A	19790213	US 1977-790000	19770422
			LU 1967-53029	A 19670221
			US 1968-706652	A2 19680219
			LU 1968-55522	A 19680220
			US 1969-801154	A2 19690220
			LU 1971-63056	A 19710423
			LU 1971-63057	A 19710423
			US 1971-140956	A3 19710506
			US 1974-468595	A3 19740509
			US 1976-653526	A3 19760129

PRIORITY APPLN. INFO.:

AB Oral prepsns. containing 0.75-3 weight% cysteine or cysteamine derivs. are administered to humans at a rate of 1-5 mg/kg/day for .apprx.15 days for treating excessive sebum secretion by the scalp. Several general methods for the synthesis of the active compds. were presented. E.g., 30 g Et nicotinate [614-18-6] and 80 g 2-benzylthioethylamine [1007-54-1] were heated for 12 hr at 170-80° and the liberated ethane removed via a Dean Stark apparatus. After cooling, the reaction mixture was dissolved in 400 cc CCl4 and 47 g N-(2-benzylthioethyl)nicotinamide [60116-80-5] crystallized by the addition of petroleum ether. After recrystn. from CCl4 and cyclohexane the product melted at 79°. By bubbling HCl gas into an Me2CO solution of the product, N-(benzylthioethyl)nicotinamide-HCl [40379-38-2], m.p. 135°, was prepared. An ampul containing the above HCl salt 50, glucose 300 mg, water to 5 ml, and orange juice to impart aroma was prepared for human administration to treat greasy hair due to excessive sebum secretion.

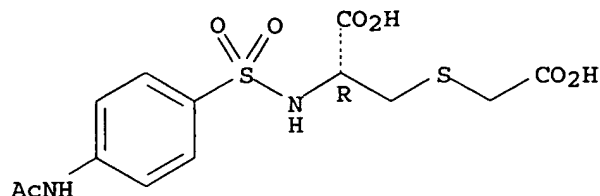
IT 60115-22-2

RL: BIOL (Biological study)
(in pharmaceutical, for seborrhea treatment)

RN 60115-22-2 HCAPLUS

CN L-Cysteine, N-[[4-(acetylamino)phenyl]sulfonyl]-S-(carboxymethyl)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



L32 ANSWER 16 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1969:479419 HCAPLUS

DOCUMENT NUMBER: 71:79419

TITLE: N-Acyl- and N-sulfonylcysteine derivatives

AUTHOR(S): Martin, Tellis A.

CORPORATE SOURCE: Mead Johnson Res. Center, Evansville, IN, USA

SOURCE: Journal of Medicinal Chemistry (1969), 12, 950-3

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Twenty-six N-acyl-cysteines or N-sulfonylcysteines were synthesized, and some were tested for mucolytic activity, as measured by their ability to decrease the viscosity of a mucoprotein solution. Of the sulfhydryl compounds tested, L-N-sulfanylcysteine, L-3-mercapto-2-ureidopropionamide, L-3-mercapto-2-methanesulfonamidopropionamide, 2-acetamido-N-(L-1-carboxy-2-mercaptoethyl)-3-mercapto-DL-propionamide, 2-acetamido-N-(L-1-carbamoyl-2-mercaptoethyl)-3-mercapto-DL-propionamide, and N-acetyl-L-cysteine had significant mucolytic activity.

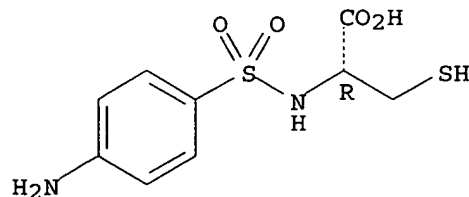
IT 22661-83-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(mucolytic activity of)

RN 22661-83-2 HCAPLUS

CN L-Cysteine, N-[(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



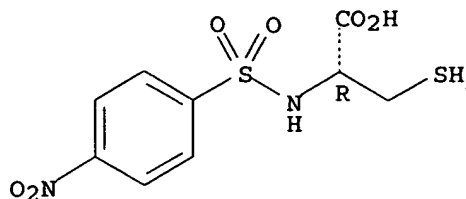
IT 25129-02-6P 25129-12-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

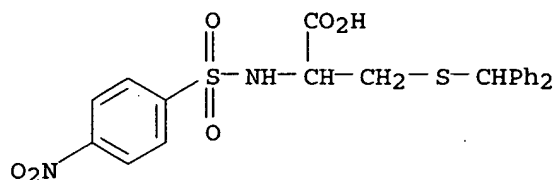
RN 25129-02-6 HCAPLUS

CN L-Cysteine, N-[(4-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

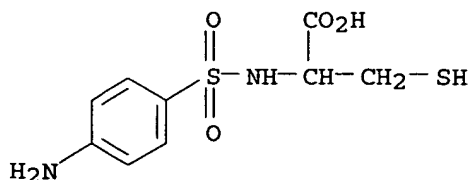
Absolute stereochemistry.



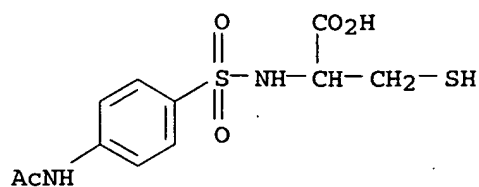
RN 25129-12-8 HCAPLUS
 CN Alanine, 3-[(diphenylmethyl)thio]-N-[(p-nitrophenyl)sulfonyl]-, L- (8CI)
 (CA INDEX NAME)



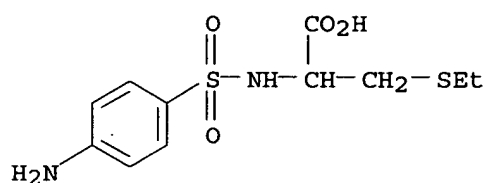
L32 ANSWER 17 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1962:472303 HCAPLUS
 DOCUMENT NUMBER: 57:72303
 ORIGINAL REFERENCE NO.: 57:14392h-i
 TITLE: Sulfide derivatives of cysteine. II. Sulfonamide
 derive tives of cysteine and methionine
 AUTHOR(S): Verderame, Matthew
 CORPORATE SOURCE: Union Univ., Albany, NY
 SOURCE: Journal of Pharmaceutical Sciences (1962), 51, 576-9
 CODEN: JPMSAE; ISSN: 0022-3549
 DOCUMENT TYPE: Journal
 LANGUAGE: Unavailable
 AB cf. CA 55, 18578f. Seven new sulfide derivs. of cysteine (I) and 4 new
 sulfonamide derivs. of I and methionine were synthesized and tested for
 antiviral activity. Synthesis details for 9 of the new compds. are given.
 Only L-3-(3-hydroxy-4-carboxyphenylcarbonylmethylthio)alanine showed any
 effectiveness against Klebsiella pneumoniae infection in mice.
 IT 22661-77-4, Cysteine, N-sulfanilyl- 91215-06-4,
 Cysteine, N-(N-acetylsulfanilyl)- 91431-26-4, Alanine,
 3-(ethylthio)-N-sulfanilyl- 93429-15-3, Alanine,
 N-(N-acetylsulfanilyl)-3-(ethylthio)- 97019-32-4, Alanine,
 3-(ethylthio)-N-(N-sulfanilylsulfanilyl)- 97115-66-7, Cystine,
 N,N'-bis(N-acetylsulfanilyl)-
 (preparation and physiol. activity of)
 RN 22661-77-4 HCAPLUS
 CN Cysteine, N-sulfanilyl- (6CI, 7CI, 8CI) (CA INDEX NAME)



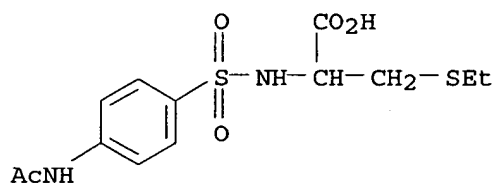
RN 91215-06-4 HCAPLUS
 CN Cysteine, N-(N-acetylsulfanilyl)- (7CI) (CA INDEX NAME)



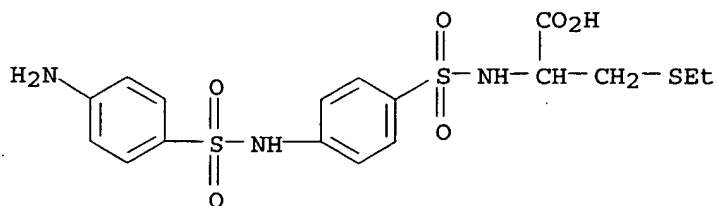
RN 91431-26-4 HCAPLUS
 CN Alanine, 3-(ethylthio)-N-sulfanilyl- (6CI, 7CI) (CA INDEX NAME)



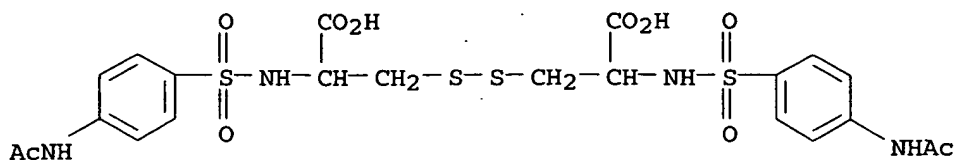
RN 93429-15-3 HCAPLUS
 CN Alanine, N-(N-acetylsulfanilyl)-3-(ethylthio)- (6CI, 7CI) (CA INDEX NAME)



RN 97019-32-4 HCAPLUS
 CN Alanine, 3-(ethylthio)-N-(N-sulfanilylsulfanilyl)- (7CI) (CA INDEX NAME)



RN 97115-66-7 HCAPLUS
 CN Cystine, N,N'-bis(N-acetylsulfanilyl)- (6CI, 7CI) (CA INDEX NAME)



L32 ANSWER 18 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1958:34784 HCAPLUS

DOCUMENT NUMBER: 52:34784

ORIGINAL REFERENCE NO.: 52:6189h-i,6190a-d

TITLE: Water-soluble sulfonamides. II. Sulfanilylcystine and sulfanilylglycine derivatives

AUTHOR(S): Baganz, Hildegard; Baganz, Horst

CORPORATE SOURCE: Tech. Univ., Berlin-Charlottenburg

SOURCE: Arch. Pharm. (1957), 290, 567-71

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

OTHER SOURCE(S): CASREACT 52:34784

AB cf. C.A. 51, 1064g. Bis(acetylsulfanilyl)cystine (I), m. 209° (decomposition), was prepared in 81.4% yield by modifying the procedure of Irreverre and Sullivan (C.A. 36, 44855). L-Cystine (2.4 g.) in 20 ml. N NaOH was treated with stirring with 2.4 g. p-AcNHC6H4SO2Cl (II) in CHCl3 (exothermic reaction), sufficient dilute NaOH added to effect solution, the mixture chilled 0.5 hr., the insol. material filtered off, the filtrate acidified with dilute HCl, the precipitated crude I (5.3 g.) collected after 12 hrs., dissolved in EtOH, H2O added to permanent turbidity, and the globular aggregates of I which separated in 2 days recrystd. from glacial AcOH. The di-Me ester HCl salt (III) of I, m. 188.5°, was prepared by saturating 9 g. I in 50 ml. absolute MeOH with HCl gas, chilling the dark brown solution overnight, collecting the precipitate (4 g.) (concentration of the mother liquor

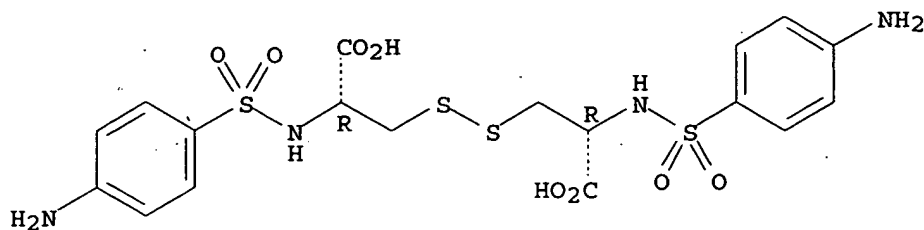
yielded an addnl. 2.7 g.), washing it with cold MeOH containing HCl, and drying in vacuo over KOH. From III was obtained a quant. yield of the di-Me free ester (IV), needles, m. 175-6°, by treating III in absolute MeOH with C and adding H2O to permanent turbidity. Attempts to prepare the diethyl amide of disulfanilylcystine from IV failed. (Acetylsulfanilyl)glycine (V), m. 225° (decomposition), was prepared in 96.5% yield by shaking 47 g. II 2 hrs. with 15 g. glycine in 60 ml. H2O and 16 g. NaOH, concentrating the mixture in vacuo, filtering, acidifying the filtrate with dilute HCl, isolating the precipitated V, drying in a vacuum oven, and recrystg. from EtOH. A 95% yield of V was obtained with 75 ml. CHCl3 instead of Me2CO. V (50 g.) in 200 ml. absolute MeOH treated with HCl gas 5 hrs. without cooling, the solution concentrated in vacuo, and the precipitate collected

and dried in a vacuum oven over KOH yielded sulfanilylglycine Me ester HCl salt (VI), m. 184°. NaOAc added to VI in 200 ml H2O liberated 36.5 g. sulfanilylglycine Me ester (VII), m. 88° (iso-PrOH). Sulfanilylglycine dimethylamide (VIII), m. 148°, was prepared in 40% yield by allowing 6 g. VII and 5 ml. 33% aqueous HNMe2 to stand at room temperature several days, isolating the precipitate, and recrystg. from H2O; concentration of the reaction solution gave addnl. VIII. The corresponding diethylamide (IX) was prepared by allowing VII (or the corresponding Et ester) to stand with 33% aqueous HNEt2 and, when solution was complete, removing the solvent in vacuo; repeated solution and evaporation gave 80% IX.H2O, m. 155-6° 94:6 (acetone-H2O, EtOH, or iso-PrOH). IX acted as a bacteriostatic and tuberculostatic agent in 10 and 5% aqueous solns., resp.

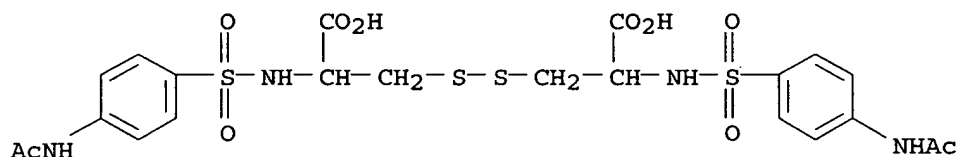
IT 83626-72-6, Cystine, N,N'-disulfanilyl- (and derivs.)

RN 83626-72-6 HCAPLUS
 CN L-Cystine, N,N'-bis[(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 97115-66-7, Cystine, N,N'-bis(N-acetylsulfanilyl)-
 (preparation of)
 RN 97115-66-7 HCAPLUS
 CN Cystine, N,N'-bis(N-acetylsulfanilyl)- (6CI, 7CI) (CA INDEX NAME)



L32 ANSWER 19 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1957:43133 HCAPLUS
 DOCUMENT NUMBER: 51:43133
 ORIGINAL REFERENCE NO.: 51:8005i,8006a-i,8007a
 TITLE: Sulfanilyl derivatives of natural α -amino acids and their analogs
 AUTHOR(S): Chen-e, Yuan; Shchukina, M. N.
 CORPORATE SOURCE: S. Ordzhonikidze All-Union Chem. Pharm. Research Inst., Moscow
 SOURCE: Zhurnal Obshchei Khimii (1956), 26, 2872-82
 CODEN: ZOKHA4; ISSN: 0044-460X
 DOCUMENT TYPE: Journal
 LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

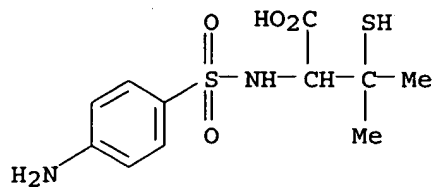
AB The following derivs. of amino acids were found to be relatively weakly antibacterially active at best. To 11.25 g. $\text{H}_2\text{NCH}_2\text{CO}_2\text{H}$ in 20 ml. 40% NaOH and 50 ml. H_2O was added 37.5 g. $\text{p-MeO}_2\text{CNHC}_6\text{H}_4\text{SO}_2\text{Cl}$ with addition of NaOH to maintain the alkalinity of the mixture over 3 hrs.; after clarification with C and acidification there was obtained $\text{p-MeO}_2\text{CNHC}_6\text{H}_4\text{SO}_2\text{-NHCH}_2\text{CO}_2\text{H}$, m. 169-70° (from 60% EtOH). Heating 8.15 g. DL-p- $\text{MeO}_2\text{CNHC}_6\text{H}_4\text{SO}_2\text{NHCHMeCO}_2\text{H}$ with 8 ml. concentrated H_2SO_4 and 40 ml. EtOH 4 hrs. at 80-4° gave 91% Et ester, m. 144-4.5°. Heating 2.58 g. p- $\text{H}_2\text{NC}_6\text{H}_4\text{SO}_2\text{NHCH}_2\text{CO}_2\text{Et}$ with 1.25 ml. 80% $\text{N}_2\text{H}_4\cdot\text{H}_2\text{O}$ in 26 ml. absolute EtOH 4 hrs. gave on evaporation 72% p- $\text{H}_2\text{NC}_6\text{H}_4\text{SO}_2\text{NHCH}_2\text{CONHNH}_2$, m. 160° (from 50% EtOH). Heating DL-p- $\text{H}_2\text{NC}_6\text{H}_4\text{SO}_2\text{NHCHMeCO}_2\text{H}$ with EtOH in the presence of HCl or H_2SO_4 gave 85.3% Et ester, m. 110-11°, which kept 3 days in EtOH- NH_3 gave 73.5% corresponding amide, m. 170.5-1.5° (from H_2O), which also formed on refluxing the corresponding hydrazide (I) with Raney Ni in 95% EtOH. To 1 g. I in 20 ml. EtOH was added at reflux 1 g. vanillin in 10 ml. EtOH, the mixture kept 2 days at room temperature and heated 4 hrs. to reflux yielding yellow p- $\text{H}_2\text{NC}_6\text{H}_4\text{SO}_2\text{NHCHMeCONHN:CHC}_6\text{H}_3(\text{OMe})\text{OH-3,4}$, m. 172-5°. Treatment of DL-serine in 50% NaOH with p- $\text{AcNHC}_6\text{H}_4\text{SO}_2\text{Cl}$

gave N-(p-acetamidobenzenesulfonyl)-DL-serine (II), m. 211-12° (from 50% EtOH), which heated with 15% HCl, evaporated and neutralized with NaOAc gave 83.5% N-p-acetamidobenzene-sulfonyl-DL-serine, m. 212-2.5° (from 50% EtOH), which with EtOH-HCl gave the Et ester, m. 86-7° (HCl salt, m. 175-81°). II (10 g.) and 1.9 g. KOH in 90 ml. H₂O were treated rapidly with 5.65 g. AgNO₃ in 50 ml. H₂O yielding 87.5% Ag salt, which after drying was suspended in C₆H₆ and treated 4.6 hrs. with MeI in the dark yielding, after refluxing 3 hrs., 70% II Me ester, m. 164-5°, which heated gradually with excess SOCl₂ to 65° gave 74% p-AcNHC₆H₄SO₂NHCH(CH₂Cl)CO₂Me, m. 130-6°, which treated with EtOCS₂K overnight, acidified with HCl, the resulting xanthate derivative (1.52 g.) taken up in EtOH, treated with 25% NH₄OH, allowed to stand 3 days, acidified with HCl, evaporated, heated with 15% HCl until dissolved, then treated with 7.5 g. Zn 0.5 hr., evaporated, and neutralized with NaOAc gave 53% N-p-acetamidobenzenesulfonyl-DL-cysteine, decompose 188-92° (from H₂O with addition of Na₂S₂O₄); the same formed from DL-cysteine and p-AcNHC₆H₄SO₂Cl in 10% NaOH after the above treatment. Substitution of EtSNa for EtOCS₂K in the above synthesis gave 38.2% N-p-aminobenzenesulfonyl-S-ethyl-DL-cysteine HCl salt, m. 159-62°, when the initially formed intermediate was refluxed with 15% HCl; the same formed from the above cysteine derivative on treatment with EtI in aqueous alc. NaOH. The use of BuSNa gave N-p-aminobenzenesulfonyl-S-butyl-DL-cysteine HCl salt, m. 148-52°. To 125 ml. NH₃ was added 2.5 g. L-cysteine followed by 0.96 g. Na and after decolorization of the blue solution it was treated with 7.6 g. EtI and stirred 3 hrs. After evaporation of NH₃, stirring with H₂O 2 hrs., addition of alkali to phenolphthalein endpoint, extraction of EtI with Et₂O and treatment of the aqueous solution by p-AcNHC₆H₄SO₂Cl (III), it gave 38.9% N-p-acetamidobenzenesulfonyl-S-ethyl-L-cysteine, m. 180-2° (from 60% EtOH), [α]_D²⁰ 8°; this heated 1.5 hrs. with 15% HCl gave the p-amino analog, m. 152-3°, [α]_D²⁰ -12.8°. III and DL-glutamic acid in aqueous NaOH gave, after hydrolysis of the Ac group with 15% HCl, 50.5% N-p-aminobenzenesulfonyl-DL-glutamic acid, m. 175-5.5°. To 13.65 g. 2-bromohexanoic acid in 45 ml. EtOH was added 21.1 g. p-H₂NC₆H₄SO₂NH₂ and heated 8 hrs. on a steam bath yielding after separation of KBr, extraction with 10% Na₂CO₃, and acidification with AcOH 78% N-p-aminobenzenesulfonyl-DL-norleucine, m. 164°; HCl salt, m. 172-6°. Reaction of III with 6-aminohexanoic acid in aqueous NaOH gave 72% N-p-acetamidobenzenesulfonyl-ε-leucine, m. 146-7°; this gave the p-amino analog, m. 134°; Et ester, m. 94°. Similarly were prepared: p-AcNHC₆H₄SO₂NHCH(CO₂H)CH₂Ph, m. 221°, its p-amino analog Et ester, m. 120-1°, and its hydrazide, m. 192-3°; p-AcNHC₆H₄SO₂NHCH(CMe₂SH)CO₂H, m. 224-9°, and its p-amino analog, m. 184-6°; p-AcNHC₆H₄SO₂NHCH(CH₂CH₂SMe)CO₂H, m. 140-51°, and its p-amino analog, m. 159-63°; p-H₂NC₆H₄SO₂NHCH(CH₂CHMe₂)CO₂Et, m. 105-6°, its hydrazide; N-p-acetamidobenzenesulfonyltryptophane, m. 238-9°, its p-amino analog, m. 188-90°. N-p-Acetamidobenzenesulfonylproline m. 228-9°, its p-amino analog m. 126-8°, and the Et ester of the latter m. 145-7°. Addition of 17.2 g. iso-BuCHO to 11 g. 95% NaCN, 14 g. NH₄Cl, and 50 ml. H₂O with good stirring over 40 min., heating 1.5 hrs. at 60-3°, separation of the aminonitrile by extraction with Et₂O, and heating this with concentrated HCl 10 hrs. gave on evaporation crude product which after crystallization from a little hot H₂O gave 8.6 g. DL-leucine-HCl, which with NaHCO₃ gave 36.5% DL-leucine, m. 268-70°.

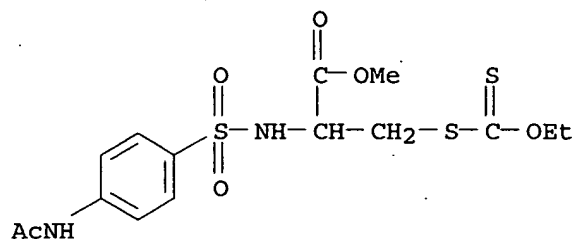
IT 99982-81-7, Valine, 3-mercapto-N-sulfanilyl- 101497-23-8
 , Cysteine, N-(N-acetylsulfanilyl)-, Me ester ethylxanthate
 132515-76-5, Valine, N-(N-acetylsulfanilyl)-3-mercapto-
 (preparation of)

RN 99982-81-7 HCAPLUS

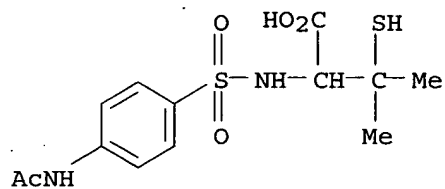
CN Valine, 3-mercapto-N-sulfanilyl- (6CI) (CA INDEX NAME)



RN 101497-23-8 HCAPLUS
 CN Cysteine, N-(N-acetylsulfanilyl)-, methyl ester, ethylxanthate (6CI) (CA INDEX NAME)



RN 132515-76-5 HCAPLUS
 CN Valine, N-(N-acetylsulfanilyl)-3-mercapto- (6CI) (CA INDEX NAME)



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